

Fig. 1

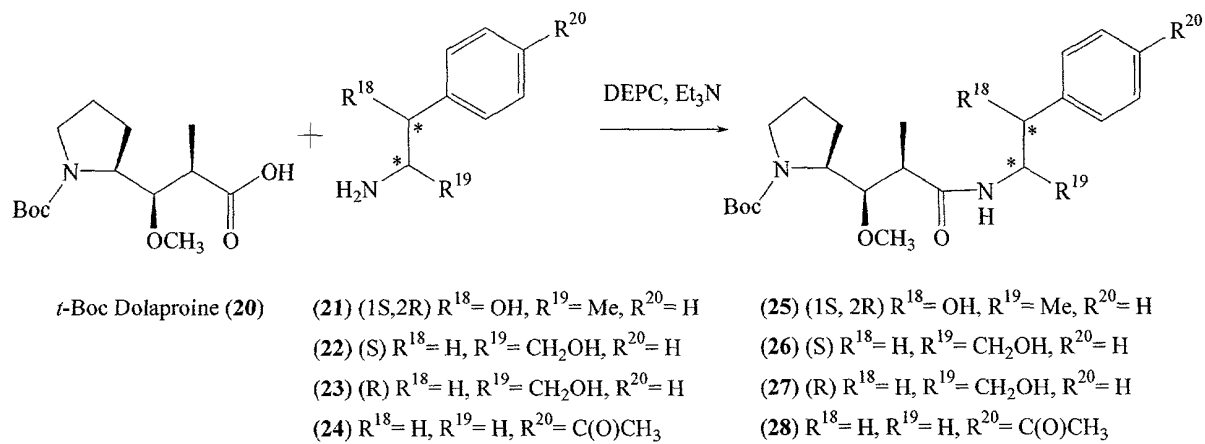
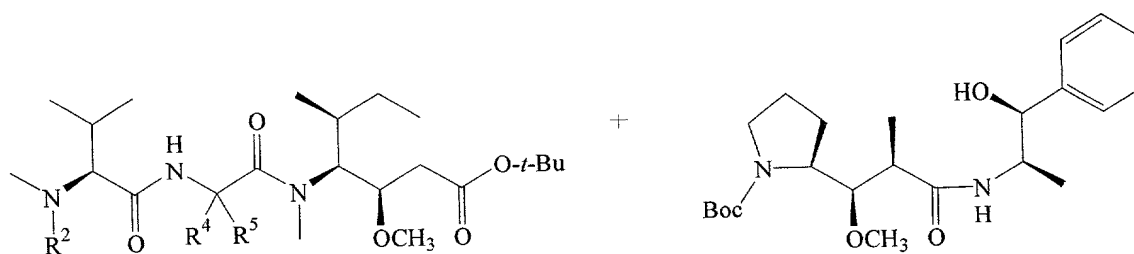


Fig. 2



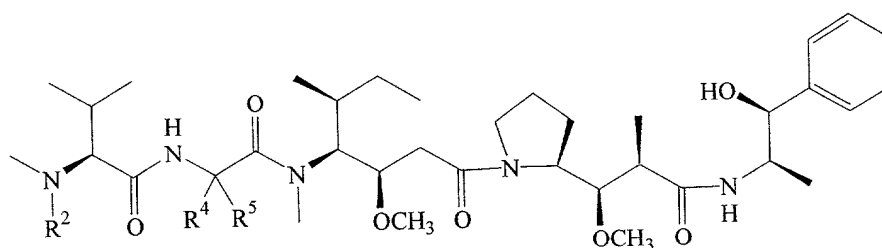
(18a) $R^2 = \text{Me}$, $R^4 = i\text{-propyl}$, $R^5 = \text{H}$;

(18b) $R^2 = \text{Me}$, $R^4 = \text{sec-butyl}$, $R^5 = \text{H}$;

(19a) $R^2 = \text{Fmoc}$, $R^4 = i\text{-propyl}$, $R^5 = \text{H}$;

(19b) $R^2 = \text{Fmoc}$, $R^4 = \text{sec-butyl}$, $R^5 = \text{H}$;

1. TFA/ CH_2Cl_2
2. DEPC, Et_3N or,
PyBrop, $\text{EtN}(i\text{-Pr})_2$



(29a, auristatin E) $R^2 = \text{Me}$, $R^4 = i\text{-propyl}$, $R^5 = \text{H}$;

(29b) $R^2 = \text{Me}$, $R^4 = \text{sec-butyl}$, $R^5 = \text{H}$;

(30a) $R^2 = \text{Fmoc}$, $R^4 = i\text{-propyl}$, $R^5 = \text{H}$;

- Fmoc \rightarrow (31a) $R^2 = \text{H}$, $R^4 = i\text{-propyl}$, $R^5 = \text{H}$;

(30b) $R^2 = \text{Fmoc}$, $R^4 = \text{sec-butyl}$, $R^5 = \text{H}$;

- Fmoc \rightarrow (31b) $R^2 = \text{H}$, $R^4 = \text{sec-butyl}$, $R^5 = \text{H}$;

Fig. 3

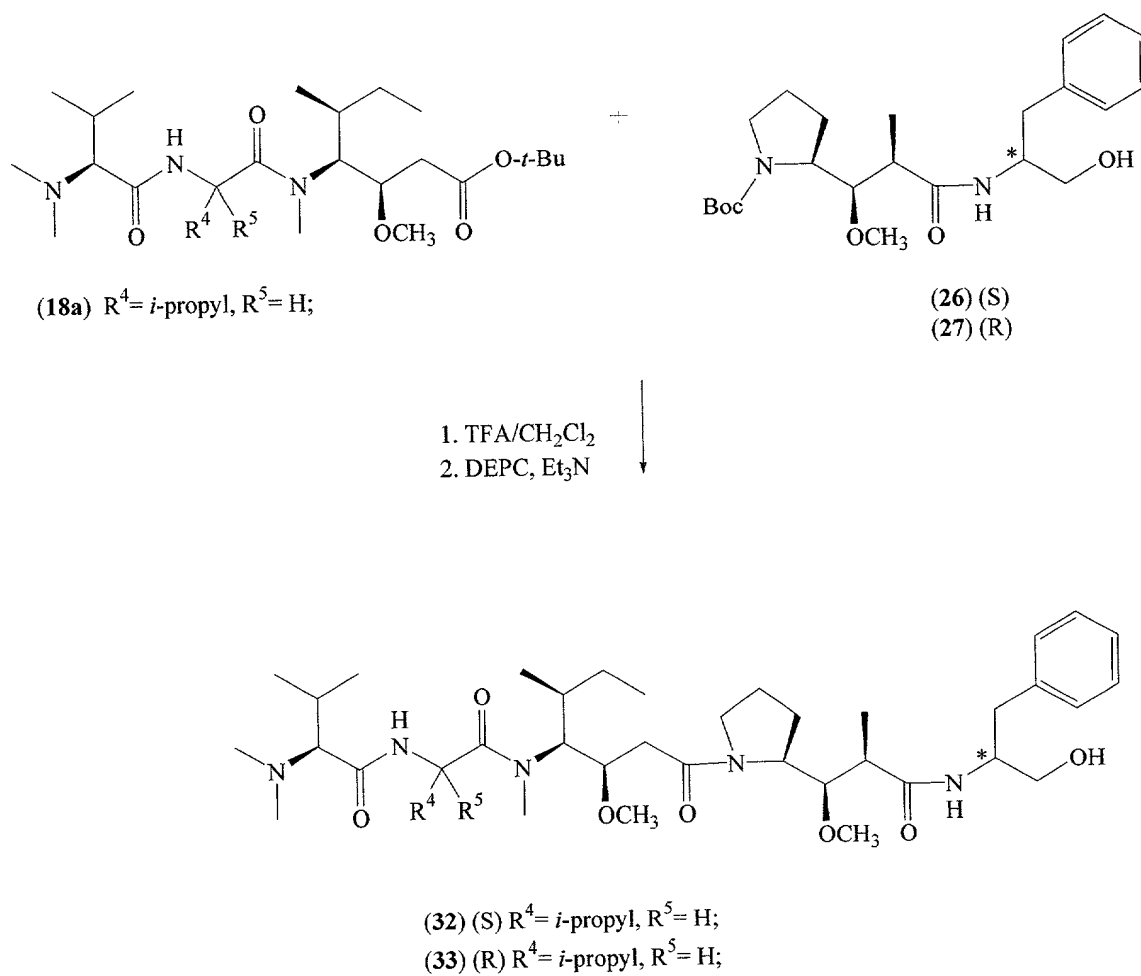


Fig. 4

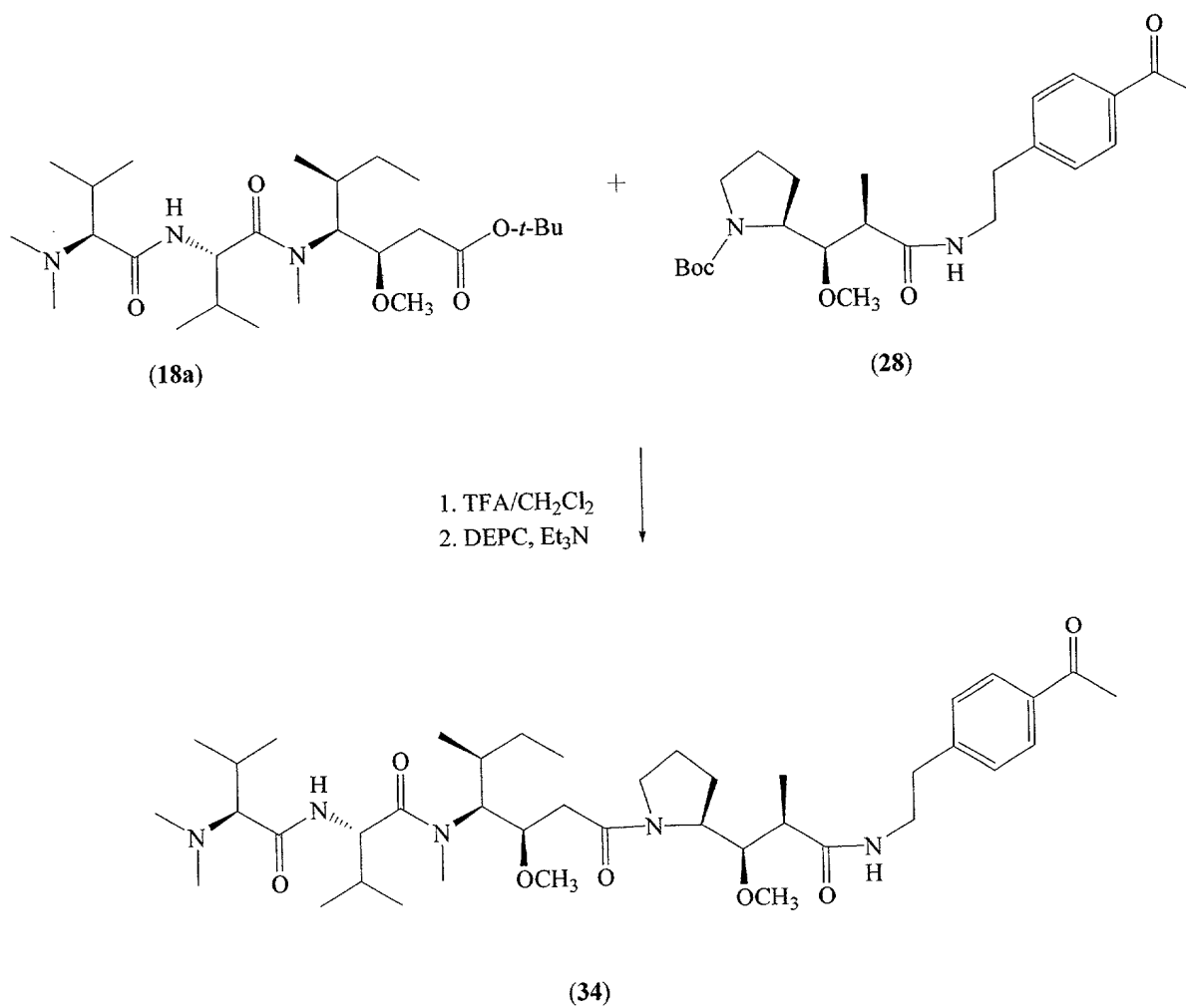
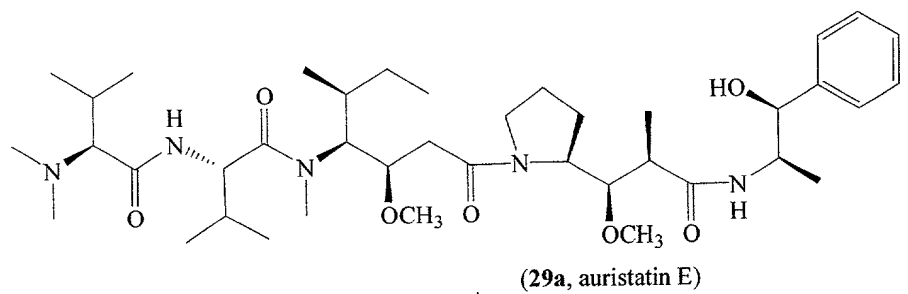


Fig. 5



PCC, Py
CH₂Cl₂

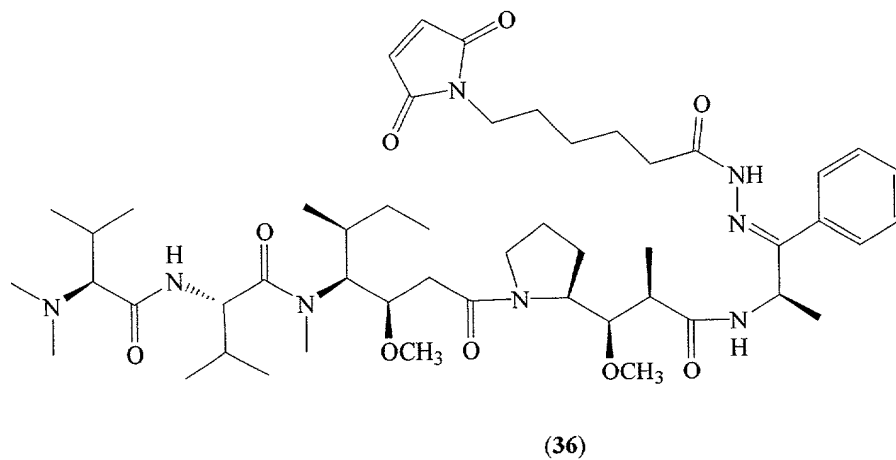
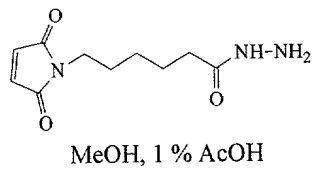
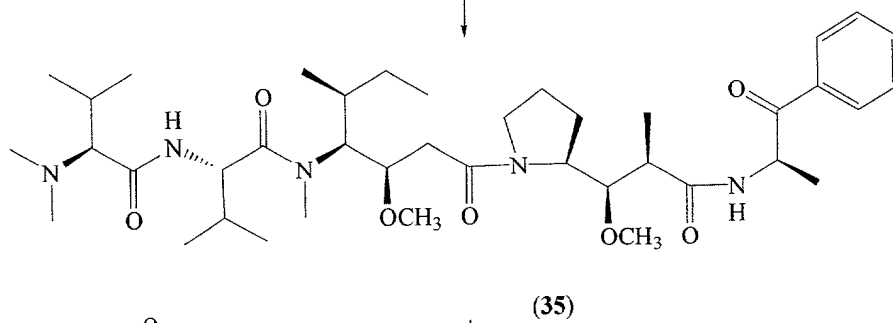
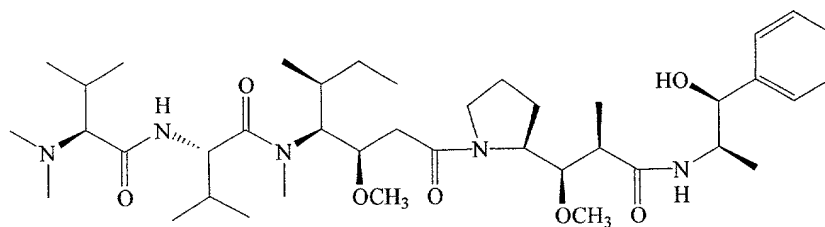
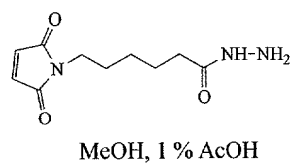
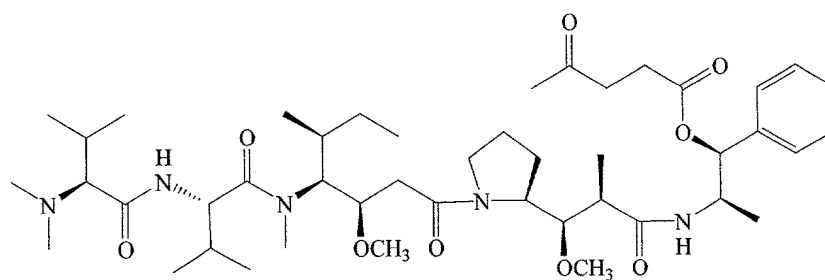
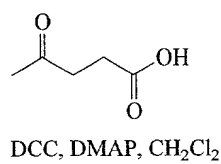


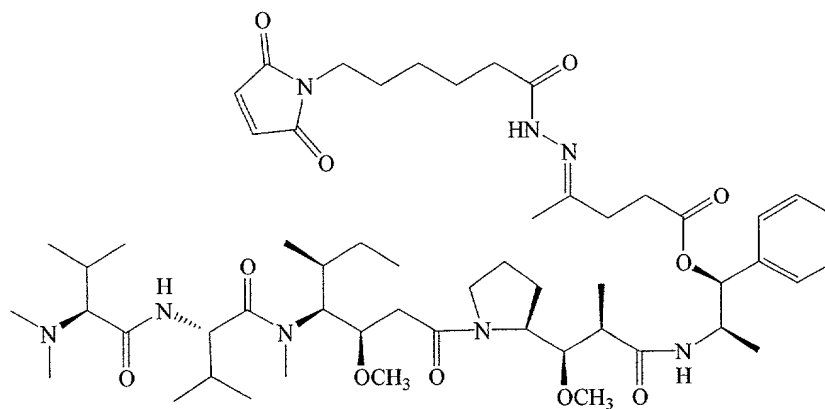
Fig. 6



(29a, auristatin E)



(37)



(38)

Fig. 7

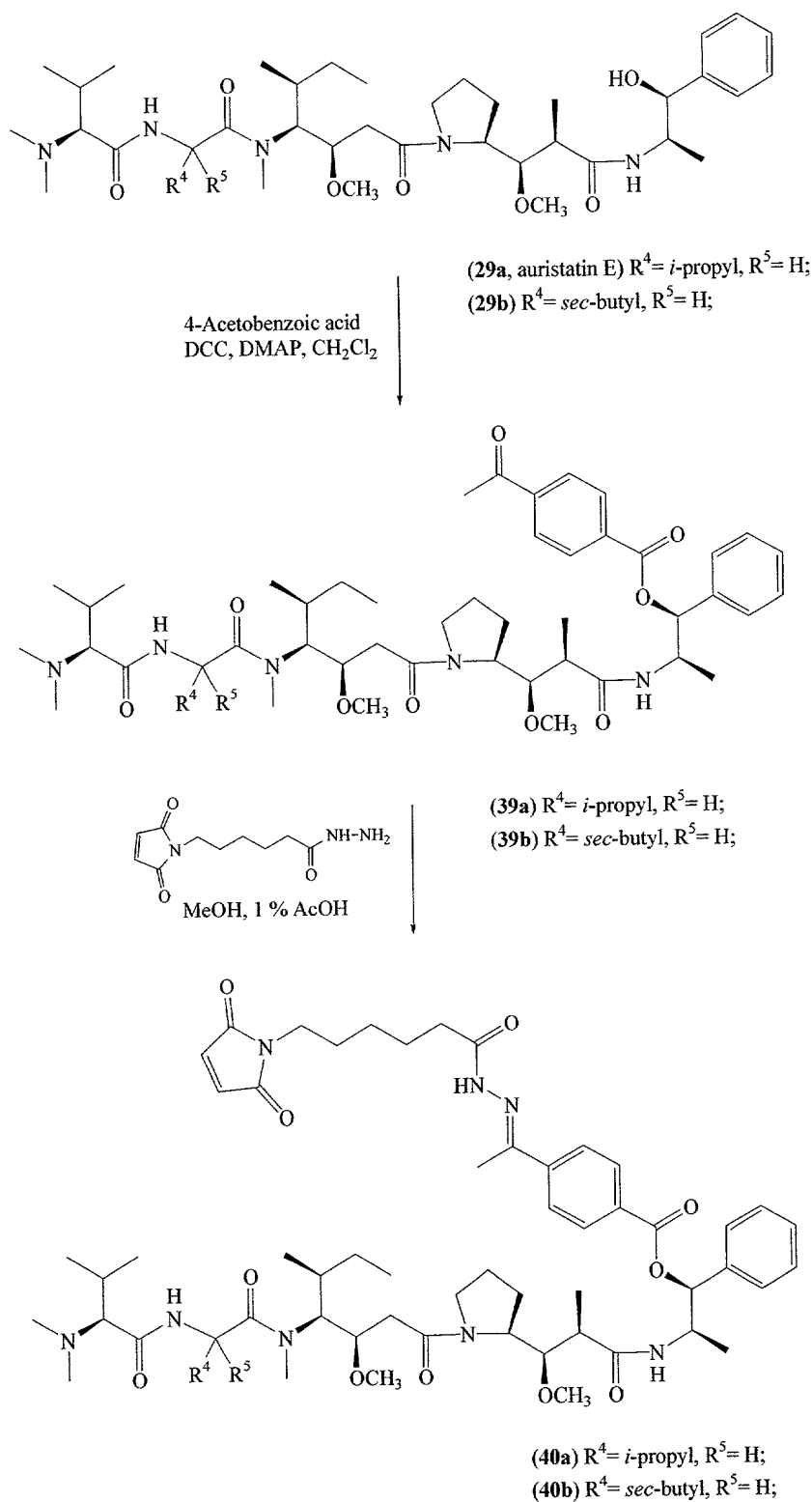


Fig. 8

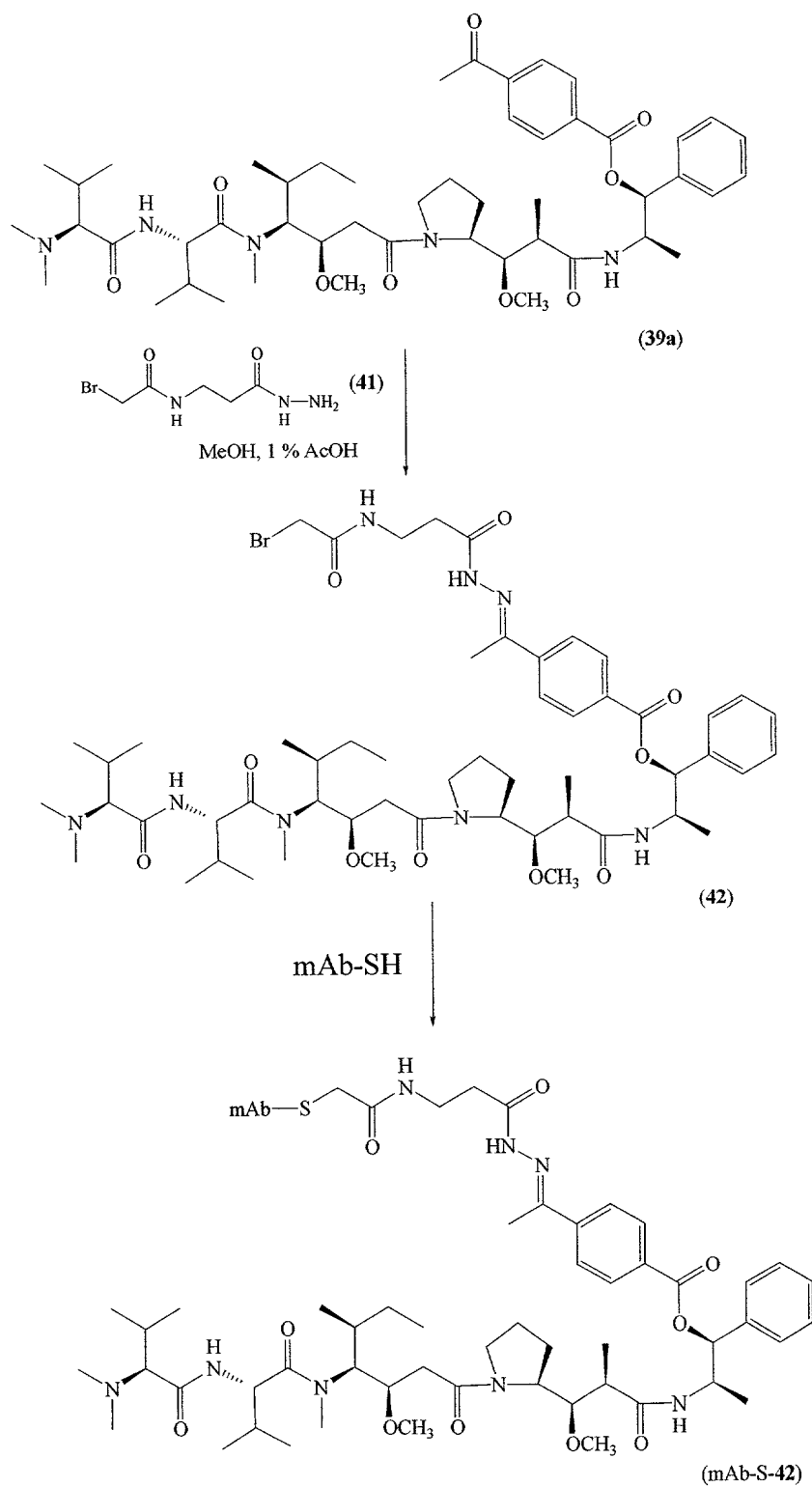
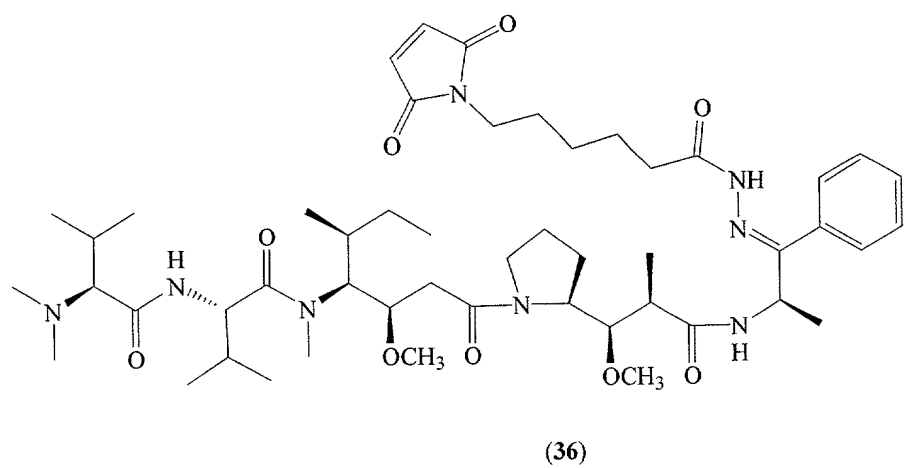


Fig. 9



mAb-SH

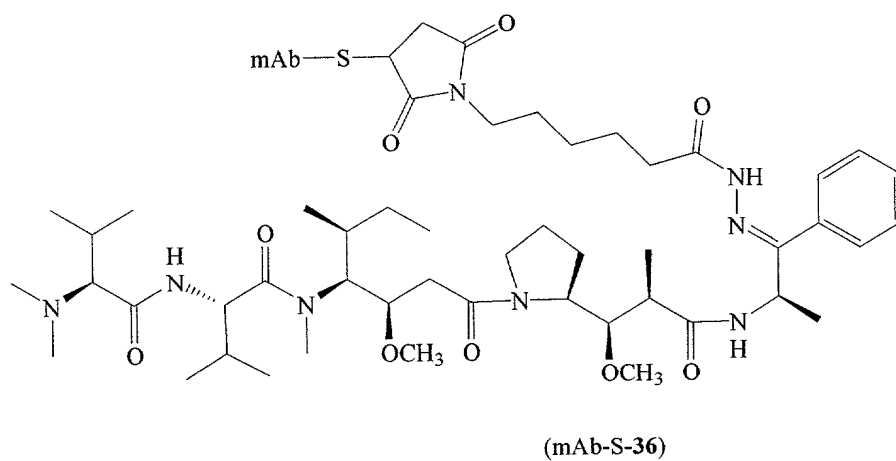
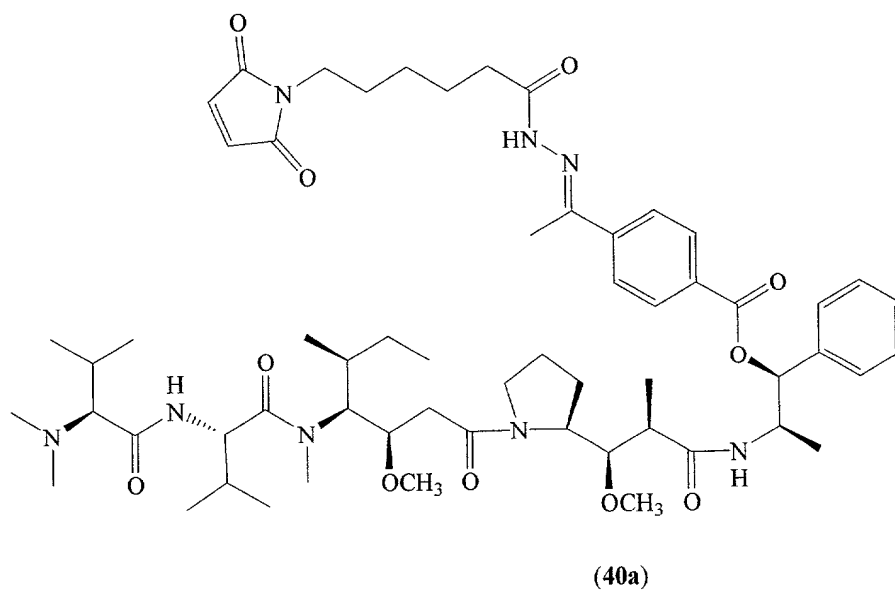


Fig. 10



mAb-SH

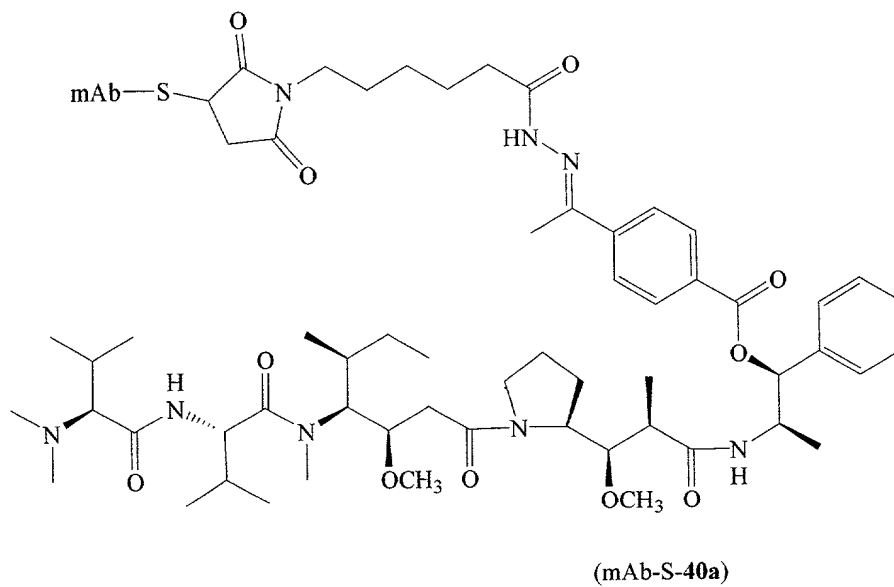


Fig. 11

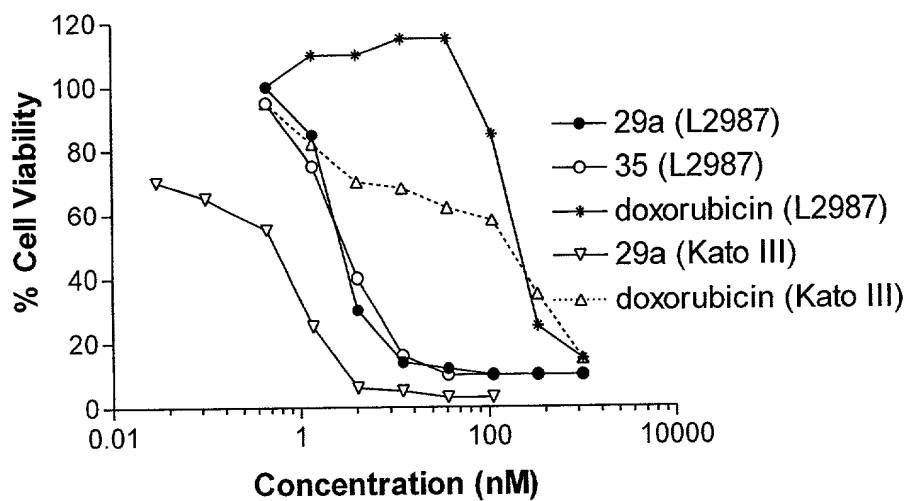


Fig. 12A

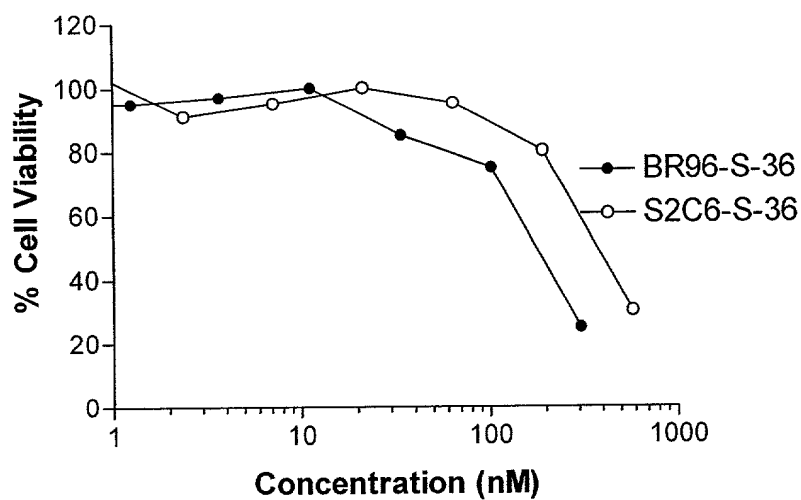


Fig. 12B

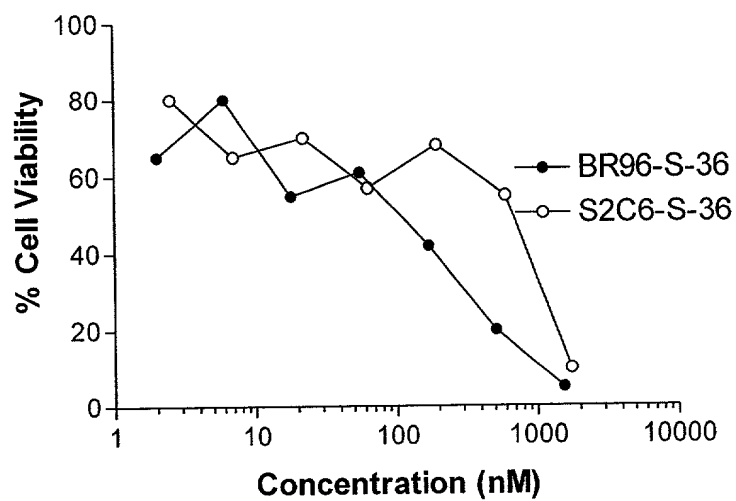


Fig. 12C

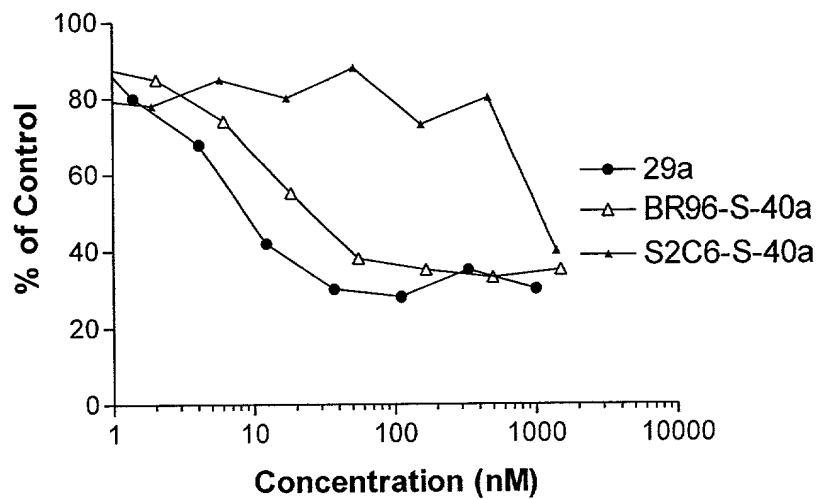


Fig. 13A

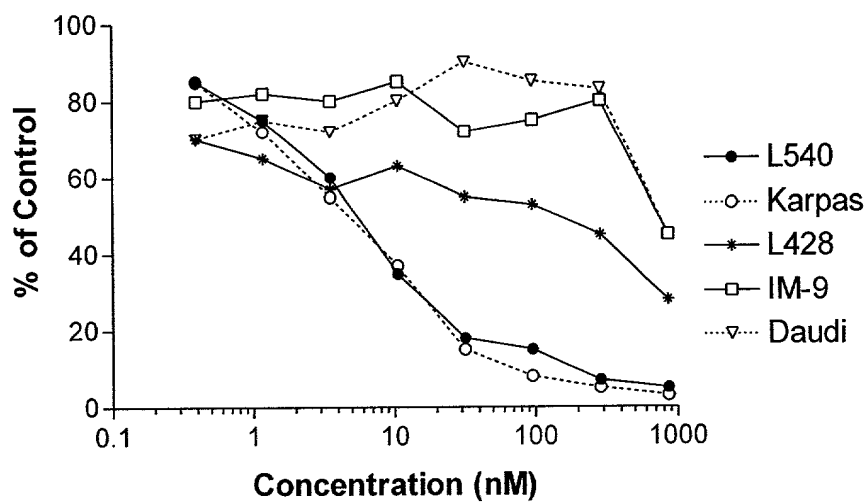


Fig. 13B

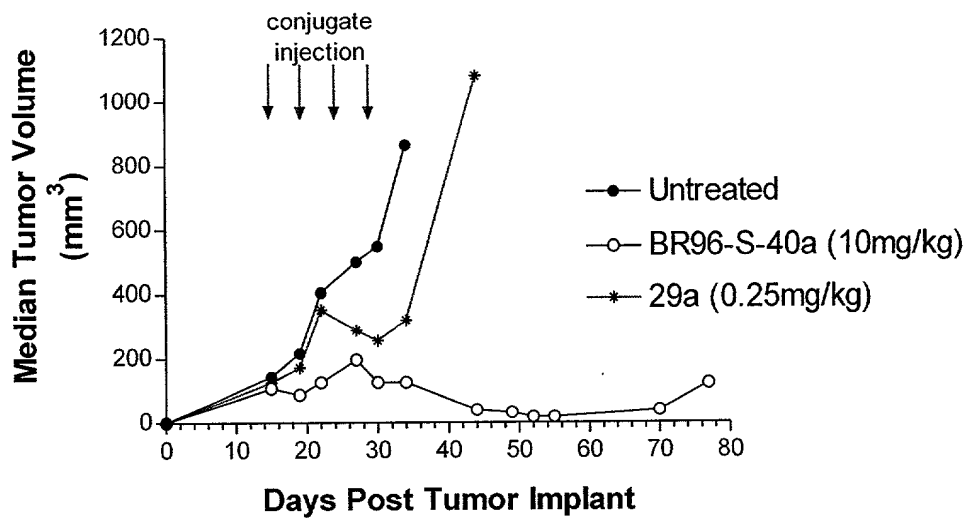


Fig. 14A

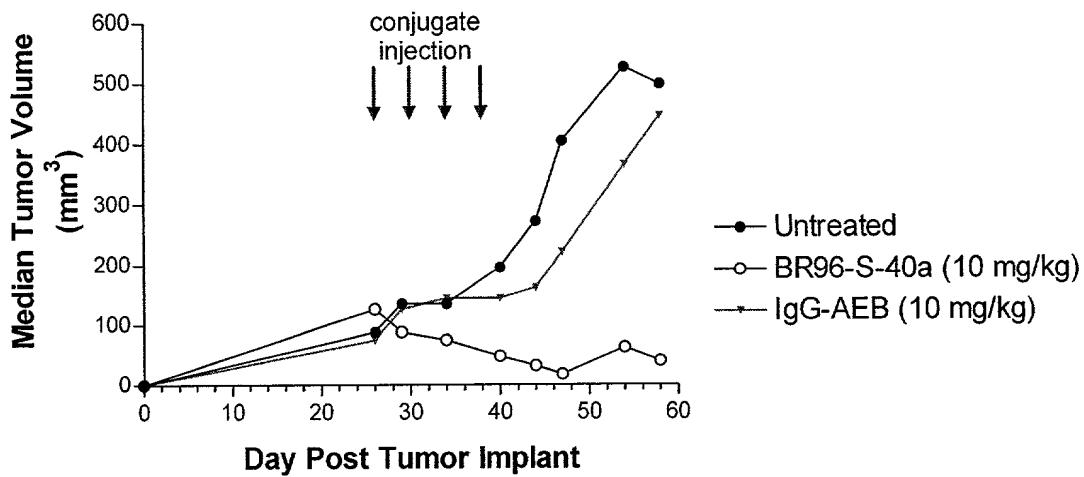


Fig. 14B